## => d 16 tot bib abs hitstr

- L6 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2006:874421 CAPLUS
- DN 145:376982
- TI Solid-phase synthesis and structure-activity relationships of novel biarylethers as melanin-concentrating hormone receptor-1 antagonists
- AU Ma, Vu; Bannon, Anthony W.; Baumgartner, Jamie; Hale, Clarence; Hsieh, Faye; Hulme, Christopher; Rorrer, Kirk; Salon, John; van Staden, Carlo; Tempest, Paul
- CS Chemistry Research and Discovery, Amgen Inc., Thousand Oaks, CA, 91320, USA
- SO Bioorganic & Medicinal Chemistry Letters (2006), 16(19), 5066-5072 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Ltd.
- DT Journal
- LA English
- OS CASREACT 145:376982
- AB Melanin-concentrating hormone (MCH) is a cyclic 19 amino acid orexigenic neuropeptide. The action of MCH on feeding is thought to involve the activation of its resp. G protein-coupled receptor MCH-R1. Consequently, antagonists that block MCH regulated MCH-R1 activity may provide a viable approach to the treatment of diet-induced obesity. This communication reports the discovery of a novel MCH-R1 receptor antagonist, which was identified through high throughput screening. The solid-phase synthesis and structure-activity relationship of related analogs is described.
- IT 846020-68-6P
  - RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
    - (solid phase synthesis and structure-activity relationships of biarylethers as melanin-concentrating hormone receptor-1 antagonists identified through high throughput screening)
- RN 846020-68-6 CAPLUS
- CN Benzamide, 4-(3,4-dimethylphenoxy)-3-[[[(4-phenoxyphenyl)amino]carbonyl]amino]-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

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## RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2006:315070 CAPLUS
- DN 145:285
- TI Identification of 4-amino-2-cyclohexylaminoquinazolines as metabolically stable melanin-concentrating hormone receptor 1 antagonists
- AU Kanuma, Kosuke; Omodera, Katsunori; Nishiguchi, Mariko; Funakoshi, Takeo; Chaki, Shigeyuki; Nagase, Yasuko; Iida, Izumi; Yamaguchi, Jun-ichi; Semple, Graeme; Tran, Thuy-Anh; Sekiguchi, Yoshinori
- CS Medicinal Research Laboratories, Taisho Pharmaceutical Co. Ltd, Saitama, Saitama, 331-9530, Japan
- SO Bioorganic & Medicinal Chemistry (2006), 14(10), 3307-3319 CODEN: BMECEP; ISSN: 0968-0896
- PB Elsevier B.V.
- DT Journal
- LA English
- AB The optimization of the distance between two key pharmacophore features within our first hit compds. led to the identification of a new class of potent non-peptidic antagonists for the MCH-R1, based around 4-amino-2-cyclohexylaminoquinazolines. In particular, ATC0065, N 2-[cis-4-({2-[4-Bromo-2-(trifluoromethoxy)phenyl]ethyl}amino)cyclohexyl]-N4,N4-dimethylquinazoline-2,4-diamine dihydrochloride, bound with high affinity to the MCH-R1 (IC50 value of 16 nM) and showed good metabolic stability in liver microsomes from human and rat.
- IT 617245-27-9
  - RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
    - (amino cyclohexylaminoquinazolines as metabolically stable melanin-concentrating hormone receptor 1 antagonists)
- RN 617245-27-9 CAPLUS
- CN Benzamide, 2-methoxy-4-[[[(3-phenoxyphenyl)amino]carbonyl]amino]-N-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)

## RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2005:182684 CAPLUS
- DN 142:254663
- TI Amine-containing phenyl derivative melanin-concentrating hormone receptor antagonists for therapeutic use

Tempest, Paul; Hulme, Christopher; Ma, Vu INPΑ Amgen, Inc., USA SO PCT Int. Appl., 319 pp. CODEN: PIXXD2 DT Patent LA English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_\_ \_\_\_\_ \_\_\_\_\_ WO 2005019240 A2 20050303 WO 2004-US25970 20040811 PΙ WO 2005019240 А3 20050506 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, W: CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2004-266228 AU 2004266228 Α2 20050303 20040811 AU 2004266228 Α1 20050303 CA 2534428 Α1 20050303 CA 2004-2534428 20040811 US 2005256161 20051117 US 2004-916219 Α1 20040811 20060510 EP 2004-780754 EP 1654225 A2 20040811 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR JP 2007502283 Τ 20070208 JP 2006-523322 20040811 MX 2006PA01638 Α 20060428 MX 2006-PA1638 20060210 Р PRAI US 2003-494855P 20030813 W 20040811 WO 2004-US25970 MARPAT 142:254663 OS GΙ

AB The title compds., or pharmaceutically-acceptable salts, tautomers or prodrugs thereof, are provided. Also provided are methods for treating or

preventing a melanin-concentrating hormone-mediated disorder in a subject, comprising administering to a subject in need of such treatment or prevention a compound of the invention. Preparation of compds, e.g. I, is described.

IT 846020-68-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(amine-containing  $\operatorname{Ph}$  derivative melanin-concentrating hormone receptor antagonists for

therapeutic use)

RN 846020-68-6 CAPLUS

CN Benzamide, 4-(3,4-dimethylphenoxy)-3-[[[(4-phenoxyphenyl)amino]carbonyl]amino]-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

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- L6 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2004:767279 CAPLUS
- DN 141:405643
- TI 4-Acylamino-and 4-ureidobenzamides as melanin-concentrating hormone (MCH) receptor 1 antagonists
- AU Receveur, Jean-Marie; Bjurling, Emelie; Ulven, Trond; Little, Paul Brian; Norregaard, Pia K.; Hoegberg, Thomas
- CS 7TM Pharma A/S, Horsholm, DK-2970, Den.

- SO Bioorganic & Medicinal Chemistry Letters (2004), 14(20), 5075-5080 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier B.V.
- DT Journal
- LA English
- OS CASREACT 141:405643
- AB Synthesis, in vitro biol. evaluation and structure-activity relationships of 4-acylamino-and 4-ureidobenzamides as novel hMCH1R-antagonists are disclosed. The nature of the amine side chains could be varied considerably in contrast to the central benzamide scaffold and aromatic substituents.
- IT 617244-41-4 617245-26-8 617245-27-9 617245-56-4 617246-58-9 617246-60-3

791613-58-6

RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)

(4-Acylamino-and 4-ureidobenzamides as melanin-concentrating hormone (MCH) receptor 1 antagonists)

RN 617244-41-4 CAPLUS

CN Benzamide, N-[(1-ethyl-2-pyrrolidinyl)methyl]-2-methoxy-4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]- (CA INDEX NAME)

RN 617245-26-8 CAPLUS

CN Benzamide, 2-methoxy-4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]-N-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)

RN 617245-27-9 CAPLUS

CN Benzamide, 2-methoxy-4-[[[(3-phenoxyphenyl)amino]carbonyl]amino]-N-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)

RN 617245-56-4 CAPLUS

CN Benzamide, 2-methoxy-N-[3-(4-morpholinyl)propyl]-4-[[[(3-phenoxyphenyl)amino]carbonyl]amino]- (CA INDEX NAME)

RN 617246-58-9 CAPLUS

CN Benzamide, N-[(1-ethyl-2-pyrrolidinyl)methyl]-4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]- (CA INDEX NAME)

RN 617246-60-3 CAPLUS

CN Benzamide, 4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]-N-[2-[4-(phenylmethyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

RN 791613-58-6 CAPLUS

CN Benzamide, 2-methoxy-4-[[[(2-phenoxyphenyl)amino]carbonyl]amino]-N-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)

RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2003:837034 CAPLUS
- DN 139:337786
- TI Preparation of novel benzamides for use in MCH receptor related disorders
- IN Ulven, Trond; Hoegberg, Thomas; Elling, Christian E.; Norregaard, Pia
  Karina; Bjurling, Anna Emelie; Receveur, Jean-Marie; Little, Paul Brian
- PA 7TM Pharma A/S, Den.
- SO PCT Int. Appl., 63 pp. CODEN: PIXXD2
- DT Patent

LA English FAN.CNT 1 DATE PATENT NO. KIND APPLICATION NO. DATE \_\_\_\_\_ \_\_\_\_\_ \_\_\_\_ \_\_\_\_\_ \_\_\_\_\_ WO 2003087044 WO 2003-DK232 20030408 A2 20031023 PΙ WO 2003087044 АЗ 20041104 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG 20031027 AU 2003-226927 AU 2003226927 Α1 PRAI DK 2002-518 20020409 Α DK 2002-757 20020516 Α WO 2003-DK232 20030408 W OS MARPAT 139:337786 GΙ

Ι

AB Title compds. I [wherein A = a linker, e.g. CHR7CONR7, CONR7, OCONR7, SO2NR7, CHR7NR7CO, NR7CONR7, hexahydro-2-oxo-pyrimidine-1,3-diyl, 2-oxoimidazolidine-1,3-diyl, 1,2,4-oxadiazolediyl, 1,3,4-oxadiazolediyl, (un)substituted imidazolediyl or 1,2,4-triazolediyl, CH=CH, OCHR7, NR7CHR7, or SCHR7; B = CH2, OCH2, O, SO2, NR7, S, NR7CH2, SCH2, CONR7, SO2NR7, CO, or CHOR7; Ar1 and Ar2 = independently (hetero)aryl; R1 and R2 = independently H, halo, CF3, OCF3, SCF3, SMe, nitrile, alkyl, alkenyl, or alkynyl; or R1 and R2 may be connected to each other to form annelated rings; R5 and R6 = independently H, halo, alkoxy, OH, (di)alkylamino, hydroxyalkyl, carboxamido, acyl(amido), CHO, nitrile, alkyl, alkenyl, alkynyl, SMe, (fluoro)alkyl, (fluoro)alkoxy, (fluoro)thioalkoxy, SO2NH2, (di)alkylaminosulfonyl, or alkylsulfonyl; more than one R5 and/or R6 may

be present; Q = substituted amino; R7 = independently H, alkyl, or alkenyl; n = 1-3; and physiol. acceptable salts, complexes, solvates, and prodrugs thereof] were prepared as melanin-concentrating hormone (MCH) receptor modulators. For example, coupling of 4-aminobenzoic acid with 4-phenoxyphenyl isocyanate in DCM gave 4-[3-(4-phenoxyphenyl)ureido]benzoic acid (79%). Condensation of the acid with 2-(aminomethyl)-1-ethylpyrrolidine afforded the ureidobenzamide II (34%). In assays of [125I]-MCH binding and phosphatidylinositol turnover using transiently transfected COS-7 cells or stably transfected CHO cells expressing the human MCH-1 receptor, II exhibited activity with IC50 values of 0.25  $\mu$ M and 1.3  $\mu$ M, resp. Thus, I and their pharmaceutical compns. are useful in the treatment or prevention of obesity, depression, diabetes, bulimia, and other MCH receptor related disorders (no data).

IT 617246-50-1P 617246-51-2P 617246-52-3P 617246-55-6P 617246-57-8P 617246-58-9P 617246-60-3P 617246-62-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(MCH receptor modulator; preparation of benzamides as MCH receptor modulators for treatment of obesity, depression, diabetes, bulimia, and related disorders)

RN 617246-50-1 CAPLUS

CN Benzamide, 4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]-N-[2-(1-piperidinyl)ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 617246-51-2 CAPLUS

CN Benzamide, N-[2-(4-morpholinyl)ethyl]-4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 617246-52-3 CAPLUS

CN Benzamide, 4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

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RN 617246-55-6 CAPLUS

CN Benzamide, 4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]-N-[[4-(phenylmethyl)-2-morpholinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 617246-57-8 CAPLUS

CN Benzamide, 4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]-N-[1-(phenylmethyl)-4-piperidinyl]- (CA INDEX NAME)

RN 617246-58-9 CAPLUS

CN Benzamide, N-[(1-ethyl-2-pyrrolidinyl)methyl]-4-[[[(4phenoxyphenyl)amino]carbonyl]amino]- (CA INDEX NAME)

RN 617246-60-3 CAPLUS

CN Benzamide, 4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]-N-[2-[4-(phenylmethyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

RN 617246-62-5 CAPLUS

CN Benzamide, N-methyl-N-[3-(4-methyl-1-piperazinyl)propyl]-4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]- (CA INDEX NAME)